PATENT
Attorney Docket No. 401301/A.BRAUN

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of:

HUBER et al.

Application No. Unassigned

Filed: July 26, 2001

For: PHARMACEUTICAL COMPOSITIONS

Art Unit: Unassigned

Examiner: Unassigned

PENDING CLAIMS AFTER ENTRY OF PRELIMINARY AMENDMENT

1. A pharmaceutical composition for slow release of active ingredient in the gastrointestinal tract, comprising a plurality of coated active ingredient-containing particles which have an active ingredient-containing core and a coating comprising a polymer insoluble in gastric and intestinal juices, where the active ingredient-containing core of the coated particles is a homogeneous mixture comprising an active pharmaceutical ingredient and a polymer insoluble in gastric and intestinal juices, and has an average internal pore diameter, measured by mercury porosimetry at 1000 to 4000 bar, not exceeding 35 µm.

2. A pharmaceutical composition for slow release of active ingredient in the gastrointestinal tract, comprising a plurality of coated active ingredient-containing particles which have an active ingredient-containing core and a coating comprising a polymer insoluble in gastric and intestinal juices, where the active ingredient-containing core of the coated particles is a homogeneous mixture comprising an active pharmaceutical ingredient and a polymer insoluble in gastric and intestinal juices, and has a percent porosity not exceeding 27%.

3. A composition as claimed in claim 1, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a polymer which is able to swell and/or be eroded in gastric and/or intestinal juices.

4. A composition as claimed in claim 1, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated

active ingredient-containing particles is a cellulose ether, a cellulose ester or a polymer or copolymer of acrylic and/or methacrylic esters.

5. A composition as claimed in claim 1, wherein the core of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient, and/or the coating of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient.

6. A composition as claimed in claim 1, wherein the coated active ingredient-containing particles have a particle size of from 0.1 to 3.0 mm.

7. A composition as claimed in claim 1, wherein the majority of the coated particles have a sphericity according to Wadell of less than 0.9.

8. A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is an active ingredient from the group of antidiabetics, analgesics, antiinflammatory agents, antirheumatic agents, antihypotensives, antihypertensives, psychopharmaceuticals, tranquilizers, antiemetics, muscle relaxants, glucocorticoids, agents for treating ulcerative colitis or Crohn's disease, antiallergics, antibiotics, antiepileptics, anticoagulants, antimycotics, antitussives, arteriosclerosis remedies, diuretics, enzymes, enzyme inhibitors, gout remedies, hormones and their inhibitors, cardiac glycosides, immunotherapeutics and cytokines, laxatives, lipid-lowering agents, migraine remedies, mineral preparations, otologicals, antiparkinson agents, thyroid therapeutics, spasmolytics, platelet aggregation inhibitors, vitamins, cytostatics and metastasis inhibitors, phytopharmaceuticals, chemotherapeutics and amino acids.

9. A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is an active ingredient from the group of analgesics, agents for treating ulcerative colitis or Crohn's disease, corticosteroids, proton pump inhibitors, virus statics, lipid-lowering agents, H2 blockers, antibiotics and ACE inhibitors.

10. A composition as claimed in claim 1, wherein the active pharmaceutical ingredient is tramadol, morphine, 5-aminosalicylic acid, budesonide, omeprazole, acyclovir, simvastatin, pravastatin, ranitidine, famotidine, amoxicillin, clavulanic acid, enalapril, amlodipine or a pharmaceutically acceptable salt or derivative thereof.

11. A composition as claimed in claim 1, in the form of tablets, sugar-coated tablets, capsules, film-coated tablets, disperse tablets, lingual disperse tablets, effervescent tablets, sachets, powders for reconstitution or suppositories.

12. A composition as claimed in claim 1, in the form of tablets containing microcrystalline cellulose, water-soluble polyvinylpyrrolidone and crosslinked water-insoluble polyvinylpyrrolidone as tablet excipients.

13. A composition as claimed in claim 1 in the form of a divisible delayed release tablet.

14. A process for producing a pharmaceutical composition as claimed in claim 1, which comprises the active pharmaceutical ingredient being mixed with a polymer insoluble in gastric and intestinal juices and compacted to a composition in such a way that the compacted composition has an average internal pore diameter, measured by mercury porosimetry at 1000 to 4000 bar, not exceeding 35 μm, and comprises the compacted composition being comminuted to particles, and the particles being coated with a polymer insoluble in gastric and intestinal juices, and comprises, optionally, the coated particles being converted into a suitable dosage form.

15. A process for producing a pharmaceutical composition as claimed in claim 2, which comprises the active pharmaceutical ingredient being mixed with a polymer insoluble in gastric and intestinal juices and compacted to a composition in such a way that the compacted composition has a percent porosity not exceeding 27%, and comprises the compacted composition being comminuted to particles, and the particles being coated with a polymer insoluble in gastric and intestinal juices, and comprises, optionally, the coated particles being converted into a suitable dosage form.

16. A process as claimed in claim 14, wherein for mixing the active pharmaceutical ingredient with the polymer insoluble in gastric and intestinal juices the active ingredient is moistened with an aqueous and/or organic dispersion or solution of the polymer, and the mixture is granulated and dried.

17. A process as claimed in claim 14, wherein the compaction takes places under a pressure of at least 5 kN per cm length of press.

18. A composition as claimed in claim 2, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a polymer which is able to swell and/or be eroded in gastric and/or intestinal juices.

19. A composition as claimed in claim 2, wherein the polymer present in the core of the coated active ingredient-containing particles and/or the polymer present in the coating of the coated active ingredient-containing particles is a cellulose ether, a cellulose ester or a polymer or copolymer of acrylic and/or methacrylic esters.

20. A composition as claimed in claim 2, wherein the core of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient, and/or the coating of the coated active ingredient-containing particles contains 2-30% by weight of polymer insoluble in gastric and intestinal juices, based on the active ingredient.

21. A composition as claimed in claim 2, wherein the coated active ingredient-containing particles have a particle size of from 0.1 to 3.0 mm.